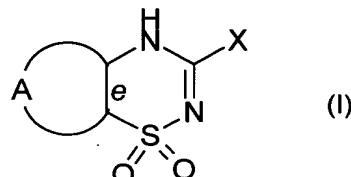


WHAT IS CLAIMED IS:

1. A process for the preparation of a compound of formula (I)



5 wherein

X is NR^2R^3 , SR^1 , $S(=O)R^1$, $S(=O)_2R^1$ or OR^1 ;

10 R^1 is hydrogen; C_{3-6} -cycloalkyl or $(C_{3-6}$ -cycloalkyl) C_{1-6} -alkyl, wherein the C_{3-6} -cycloalkyl group is optionally mono- or polysubstituted with C_{1-6} -alkyl, halogen, hydroxy or C_{1-6} -alkoxy; a 3-6 membered saturated ring system comprising one or more nitrogen-, oxygen- or sulfur atoms, optionally being mono- or polysubstituted with halogen, cyano, trifluoromethyl, C_{1-6} -alkyl, C_{1-6} -alkoxy, C_{1-6} -alkoxy- C_{1-6} -alkyl, aryl, arylalkyl, hydroxy, oxo, nitro, amino, C_{1-6} -monoalkyl or dialkylamino; straight or branched C_{1-18} -alkyl, C_{2-18} -alkenyl or C_{2-18} -alkynyl, wherein each of the groups is optionally mono- or polysubstituted with halogen, hydroxy, C_{1-6} -alkoxy, C_{1-6} -alkylthio, C_{3-6} -cycloalkyl, nitro, amino, C_{1-6} -monoalkyl- or dialkylamino, cyano, oxo, formyl, acyl, carboxy, C_{1-6} -alkoxycarbonyl, carbamoyl, formylamino, C_{1-6} -alkylcarbonylamino, aryl, aryloxy, arylalkoxy; or bicycloalkyl, aryl, heteroaryl, arylalkyl or heteroarylalkyl, wherein each of the groups is optionally mono- or polysubstituted with halogen, hydroxy, C_{1-6} -alkyl, C_{1-6} -alkoxy, aryloxy, arylalkoxy, nitro, amino, C_{1-6} -monoalkyl- or dialkylamino, cyano, oxo, acyl or

15 C_{1-6} -alkoxycarbonyl;

20

R^2 is hydrogen; hydroxy; C_{1-6} -alkoxy; or C_{1-6} -alkyl, C_{3-6} -cycloalkyl, C_{2-6} -alkenyl or C_{2-6} -alkynyl optionally mono- or polysubstituted with halogen;

25 R^3 is hydrogen; C_{3-6} -cycloalkyl or $(C_{3-6}$ -cycloalkyl) C_{1-6} -alkyl, wherein the C_{3-6} -cycloalkyl group is optionally mono- or polysubstituted with C_{1-6} -alkyl, halogen, hydroxy or C_{1-6} -alkoxy; a 3-6 membered saturated ring system comprising one or more nitrogen-, oxygen- or sulfur atoms; or straight or branched C_{1-18} -alkyl optionally mono- or polysubstituted with halogen, hydroxy, C_{1-6} -alkoxy, C_{1-6} -alkylthio, C_{3-6} -cycloalkyl, aryl, aryloxy, arylalkoxy, nitro, amino, C_{1-6} -monoalkyl- or dialkylamino, cyano, oxo, formyl, acyl, carboxy, C_{1-6} -alkoxycarbonyl, or carbamoyl; or

Sub A

~~R³ is -OR⁴; -C(=Z)R⁴; -NR⁴R⁵; or bicycloalkyl, aryl, heteroaryl, arylalkyl or heteroarylalkyl, optionally mono- or polysubstituted with halogen, hydroxy, C₁₋₆-alkyl, C₁₋₆-alkoxy, aryloxy, arylalkoxy, nitro, amino, C₁₋₆-monoalkyl- or dialkylamino, cyano, oxo, acyl or C₁₋₆-alkoxycarbonyl;~~

~~R⁴ is hydrogen; C₃₋₆-cycloalkyl or (C₃₋₆-cycloalkyl)C₁₋₆-alkyl, wherein the C₃₋₆-cycloalkyl group is optionally mono- or polysubstituted with C₁₋₆-alkyl, halogen, hydroxy or C₁₋₆-alkoxy; a 3-6 membered saturated ring system comprising one or more nitrogen-, oxygen- or sulfur atoms;~~

~~or straight or branched C₁₋₁₈-alkyl optionally mono- or polysubstituted with halogen, hydroxy, C₁₋₆-alkoxy, C₁₋₆-alkylthio, C₃₋₆-cycloalkyl, aryl, aryloxy, arylalkoxy, nitro, amino, C₁₋₆-monoalkyl- or dialkylamino, cyano, oxo, formyl, acyl, carboxy, C₁₋₆-alkoxycarbonyl, or carbamoyl;~~

~~15 Z is O or S;~~

~~R⁵ is hydrogen; C₁₋₆-alkyl; C₂₋₆-alkenyl; C₃₋₆-cycloalkyl optionally mono- or polysubstituted with C₁₋₆-alkyl, halogen, hydroxy or C₁₋₆-alkoxy; or~~

~~20 when R³ is -NR⁴R⁵, R⁴ and R⁵ together with the nitrogen atom form a 3-12 membered mono- or bicyclic system, in which one or more of the carbon atoms may be exchanged with nitrogen, oxygen or sulfur, each of these ring systems optionally being mono- or polysubstituted with halogen, C₁₋₆-alkyl, hydroxy, C₁₋₆-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkyl, nitro, amino, cyano, trifluoromethyl, C₁₋₆-monoalkyl- or dialkylamino, or oxo; or~~

~~25 when X is -NR²R³, R² and R³ together with the nitrogen atom form a 3-12 membered mono- or bicyclic system, in which one or more of the carbon atoms may be exchanged with nitrogen, oxygen or sulfur, each of these ring systems optionally being mono- or polysubstituted with halogen, C₁₋₆-alkyl, hydroxy, C₁₋₆-alkoxy, C₁₋₆-alkoxy-C₁₋₆-alkyl, nitro, amino, cyano, trifluoromethyl, C₁₋₆-monoalkyl- or dialkylamino or oxo;~~

~~A together with the carbon atoms forming bond e of formula I represents a 5 membered heterocyclic system comprising one or more nitrogen-, oxygen- or sulfur atoms, the heterocyclic system optionally being mono- or polysubstituted with halogen; C₁₋₁₈-alkyl; C₃₋₆-cycloalkyl; hydroxy; C₁₋₆-alkoxy; C₁₋₆-alkoxy-C₁₋₆-alkyl; nitro; amino; cyano; cyanomethyl; perhalomethyl; C₁₋₆-monoalkyl- or dialkylamino; sulfamoyl; C₁₋₆-alkylthio; C₁₋₆-alkylsulfonyl; C₁₋₆-alkylsulfinyl;~~

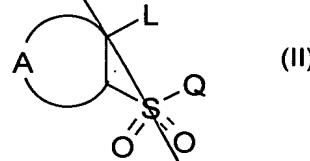
Sub A1

C_{1-6} -alkylcarbonylamino; arylthio, arylsulfinyl, arylsulfonyl, aryl, arylalkyl, or aryloxy, wherein the aryl group is optionally mono- or polysubstituted with C_{1-6} -alkyl, perhalomethyl, halogen, hydroxy or C_{1-6} -alkoxy; C_{1-6} -alkoxycarbonyl; C_{1-6} -alkoxycarbonyl- C_{1-6} -alkyl; carbamyl; carbamylmethyl; C_{1-6} -monoalkyl- or dialkylaminocarbonyl; C_{1-6} -monoalkyl- or dialkylaminothiocarbonyl; ureido; C_{1-6} -monoalkyl- or dialkylaminocarbonylamino; thiocarbamyl; thioureido; C_{1-6} -monoalkyl- or dialkylaminothiocarbonyl-amino; C_{1-6} -monoalkyl- or dialkylaminosulfonyl; carboxy; carboxy- C_{1-6} -alkyl; acyl; formyl; or a 5 - 6 membered nitrogen, oxygen or sulfur containing ring, optionally substituted with C_{1-6} -alkyl or phenyl, wherein the phenyl group is optionally mono- or polysubstituted with C_{1-6} -alkyl, perhalomethyl, halogen, hydroxy or C_{1-6} -alkoxy; or

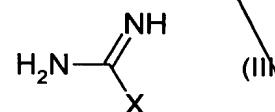
a salt thereof with a pharmaceutically acceptable acid or base, or an optical isomer thereof, or a tautomeric form thereof, or metabolites or prodrugs thereof,

15 comprising one of the following methods:

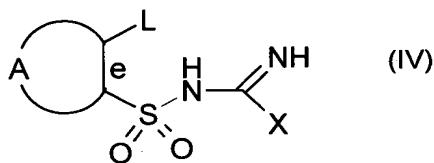
a) reacting a compound of formula (II)



wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, 20 alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III),

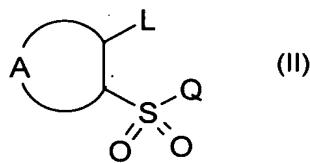


wherein X is NR^2R^3 , wherein R^2 and R^3 are defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)

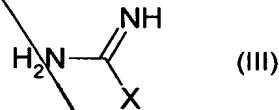


wherein A, L and X are as defined above, and
 cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and
 optionally with a metal catalyst, to form a compound of formula (I), or

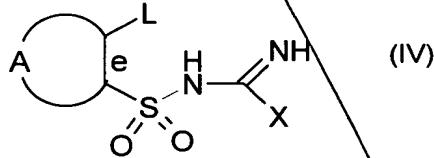
5 b) reacting a compound of formula (II)



wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl or halogen and Q is halogen, with a compound of formula (III),

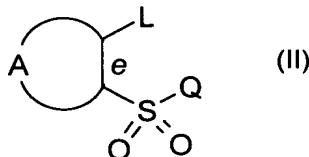


10 wherein X is SR^1 , $S(=O)R^1$ or $S(=O)_2R^1$, wherein R^1 is defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)

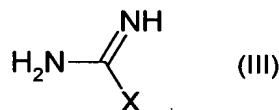


wherein A, L and X are as defined above, and
 cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and
 optionally with a metal catalyst, to form a compound of formula (I), or

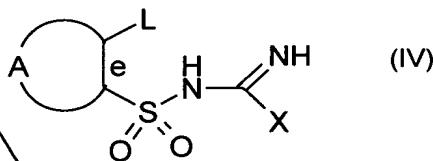
15 c) reacting a compound of formula (II)



wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl or halogen and Q is halogen, with a compound of formula (III),



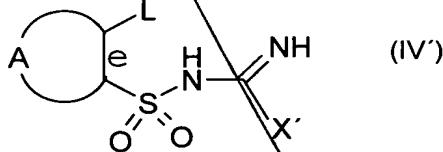
wherein X is OR¹, wherein R¹ is defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)



wherein A, L and X are as defined above, and cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and optionally with a metal catalyst, to form a compound of formula (I), or

10

d) transforming a compound of formula (IV) to a compound of formula (IV')



wherein A, L and X are as defined above, and X is transformed into X', wherein X' is selected from the groups defined for X, with the proviso that X' ≠ X, and

15

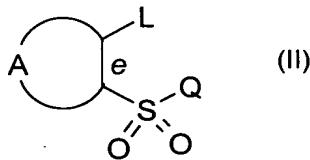
cyclizing the compound of formula (IV') in solvent 2, optionally in the presence of a base, and optionally with a metal catalyst, to form a compound of formula (I), or

e) transforming a compound of formula (I), prepared as described above, by oxidation or substitution or both, to form another compound of formula (I).

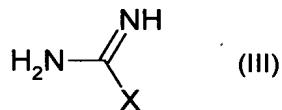
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2. A process according to claim 1 comprising:

reacting a compound of formula (II)

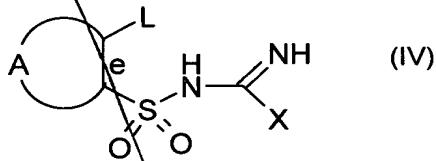


5 wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III)



wherein X is NR²R³, wherein R² and R³ are defined above, or a suitable salt thereof, in the

10 presence of a base in solvent 1, to form a compound of formula (IV)



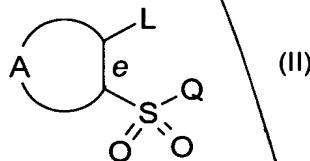
wherein A, L and X are as defined above, and

cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base, and
optionally by treatment with a metal catalyst, to form a compound of formula (I).

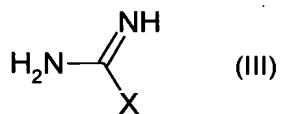
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3. A process according to claim 1 comprising:

reacting a compound of formula (II)

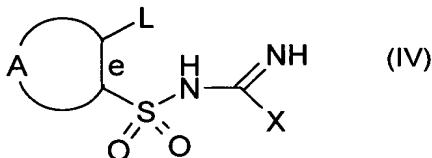


wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III),



wherein X is SR^1 , $S(=O)R^1$ or $S(=O)_2R^1$, wherein R^1 is defined above, or a suitable salt

5 thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)

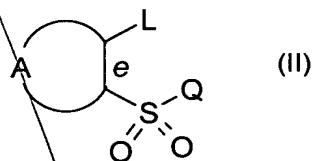


wherein A, L and X are as defined above, and

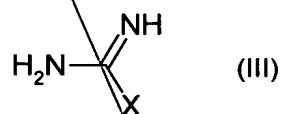
10 cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base and, optionally by treatment with a metal catalyst, to form a compound of formula (I).

4. A process according to claim 1 comprising:

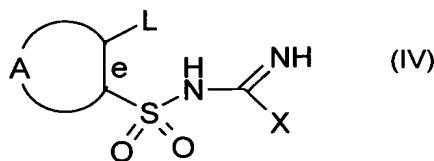
reacting a compound of formula (II)



15 wherein A is as defined above, L is a leaving group selected from alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, nitro or halogen and Q is halogen, with a compound of formula (III),



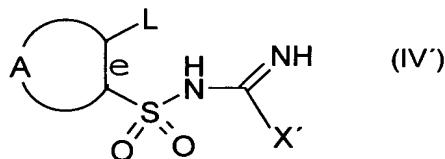
wherein X is OR^1 , wherein R^1 is defined above, or a suitable salt thereof, in the presence of a base in solvent 1, to form a compound of formula (IV)



wherein A, L and X are as defined above, and
 cyclizing the compound of formula (IV) in solvent 2, optionally in the presence of a base and,
 optionally by treatment with a metal catalyst, to form a compound of formula (I).

5 5. A process according to claim 1 comprising:

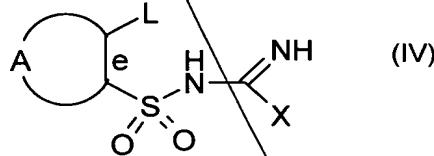
transforming a compound of formula (IV) into a compound of formula (IV')



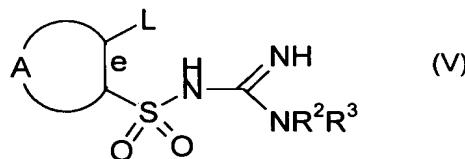
10 wherein A, L and X are as defined above, and X is transformed into X', wherein X' is
 selected from the groups defined for X, with the proviso that X' \neq X, and
 cyclizing the compound of formula (IV') in solvent 2, optionally in the presence of a base and,
 optionally by treatment with a metal catalyst, to form a compound of formula (I).

15 6. A process according to claim 1 comprising:

transforming a compound of formula (IV)



20 wherein A, and L are as defined above and X is SR¹, S(=O)R¹ or S(=O)₂R¹, wherein R¹ is
 defined above, into a compound of formula (V)



wherein A, L and R² and R³ are as defined above, and cyclizing the compound of formula (V) in solvent 2, optionally in the presence of a base and, optionally by treatment with a metal catalyst, to form a compound of formula (I).

Sub A1

5 7. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 in the presence of a base.

10 8. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 in the presence of a base and by treatment with a metal catalyst.

15 9. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 in the presence of a base and without a metal catalyst.

20 10. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 without the presence of a base.

11. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 by treatment with a metal catalyst without the presence of a base.

25 12. A process according to claim 1, wherein the process further comprises cyclizing the compound of formula (IV) in solvent 2 without the presence of a base and without a metal catalyst.

13. A process according to claim 1, wherein the process further comprises transforming a compound of formula (I), prepared as described above, by oxidation or substitution or both, to form another compound of formula (I).

30 14. A process according to claim 1, wherein the base is selected from sodium hydroxide, potassium carbonate, cesium carbonate or potassium hydroxide.

15. A process according to claim 1, wherein solvent 1 is selected from diethyl ether, acetone, toluene or t-butyl-methyl ether.

16. A process according to claim 1, wherein solvent 2 is selected from *N,N*-dimethylformamide, toluene, xylene, 1-butanol, N-methyl-2-pyrrolidinone, sulfolane, dimethylsulfoxide, DMPU or water.

17. A process according to claim 1, wherein the metal catalyst is selected from copper bronze, copper oxide, copper chloride, copper bromide or copper iodide.

18. A compound selected from the group consisting of:
3-Amino-6-chloro-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide;
7-Bromo-6-chloro-3-propylaminothieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;
7-Bromo-3-(sec-butylamino)-6-chloro-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;
7-Bromo-6-chloro-3-cyclobutylamino-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;
6-Chloro-3-methylsulfanyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide; or
6-Chloro-3-methylsulfinyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide
obtained by a process according to claim 1.

19. A compound selected from the group consisting of:
6-Bromo-3-methylsulfanyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide; 6-Bromo-3-methylsulfinyl-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide; 3-Amino-6-bromo-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide; 6-Chloro-3-ethylamino-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;
6-Chloro-3-propylamino-4H-thieno[2,3-e]-1,2,4-thiadiazine 1,1-dioxide;
3-Isopropylamino-6-methyl-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide;
6-Methyl-3-propylamino-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide; or
3-sec-Butylamino-6-methyl-4H-thieno[3,2-e]-1,2,4-thiadiazine 1,1-dioxide
obtained by a process according to claim 1.

20. A pharmaceutical composition for the treatment or prophylaxis of Type I or Type II diabetes comprising a compound according to claim 18 and a pharmaceutically acceptable carrier.

21. A pharmaceutical composition for the treatment or prophylaxis of Type I or Type II diabetes comprising a compound according to claim 19 and a pharmaceutically acceptable carrier.

Sub A1

5 22. A method of treating Type I or Type II diabetes which comprises administering an effective or prophylactic amount of a compound according to claim 18 to a person suffering from Type I or Type II diabetes.

10 23. A method of treating Type I or Type II diabetes which comprises administering an effective or prophylactic amount of a compound according to claim 19 to a person suffering from Type I or Type II diabetes.